

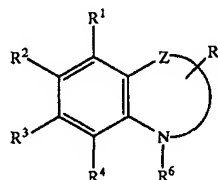


US006063806A

**United States Patent** [19]**Kamiya et al.**[11] **Patent Number:** **6,063,806**[45] **Date of Patent:** **May 16, 2000**[54] **INDOLYL OR INDOLINYL DERIVATIVES  
AND MEDICINAL USE THEREOF AS ACAT  
OR LIPID PEROXIDATION INHIBITORS**8-92210 4/1996 Japan .  
8-208602 8/1996 Japan .  
96/09287 3/1996 WIPO .**OTHER PUBLICATIONS**[75] **Inventors:** **Shoji Kamiya, Kyoto; Hiroaki  
Shirahase, Nagaokakyo; Hiroshi  
Matsui, Nara; Shohei Nakamura,  
Kyoto; Katsuo Wada, Takatsuki, all of  
Japan**"Potential Antiatherosclerotic Agents. 5.<sup>1</sup> An acyl-CoA:C-  
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1990.[22] **PCT Filed:** **Sep. 30, 1996**[86] **PCT No.:** **PCT/JP96/02852**§ 371 Date: **Apr. 3, 1998**§ 102(e) Date: **Apr. 3, 1998**[87] **PCT Pub. No.:** **WO97/12860****PCT Pub. Date:** **Apr. 10, 1997**F. Brown et al., "Evolution of a Series of Peptidoleukotriene  
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1990.[30] **Foreign Application Priority Data**Oct. 5, 1995 [JP] Japan ..... 7-259082  
Mar. 14, 1996 [JP] Japan ..... 8-058018  
Jul. 24, 1996 [JP] Japan ..... 8-194331*Primary Examiner*—Mukund J. Shah*Assistant Examiner*—Deepak R. Rao*Attorney, Agent, or Firm*—Wenderoth, Lind & Ponack,  
L.L.P.[51] **Int. Cl.<sup>7</sup>** ..... **C07D 209/08; C07D 209/12;  
C07D 209/14; C07D 209/18; A61K 31/40**[52] **U.S. Cl.** ..... **514/418; 514/419; 548/490;  
548/491; 548/483; 548/484; 548/510**[58] **Field of Search** ..... **548/483, 484,  
548/490, 491, 510; 514/418, 419**[57] **ABSTRACT**

A heterocyclic derivative of the formula (I)

(I)



wherein each symbol is as defined in the specification, and pharmaceutically acceptable salts thereof. The compound (I) of the present invention and pharmaceutically acceptable salts thereof exhibit superior ACAT inhibitory activity and lipoperoxidation inhibitory activity in mammals, and are useful as ACAT inhibitors and lipoperoxidation inhibitors. Specifically, they are useful for the prophylaxis and treatment of arteriosclerosis, hyperlipemia, arteriosclerosis in diabetes, and cerebrovascular and cardiovascular ischemic diseases.

**19 Claims, No Drawings**[56] **References Cited****U.S. PATENT DOCUMENTS**4,803,218 2/1989 Stanley et al. .... 514/414  
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